

Use of new and known sulphonyl guanazine cpds.

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Inventor(s): MUELLER KLAUS-HELMUT DR (DE); RIEBEL HANS-JOCHEM DR (DE); STRAUB ALEXANDER DR (DE); FEST CHRISTA DR (DE); KIRSTEN ROLF DR (DE); SCHMIDT DELF DR (DE); GESING ERNST-RUDOLF DR (DE); KLUTH JOACHIM DR (DE)

Applicant(s): BAYER AG (DE)

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Abstract

The use of sulphonyl guanazine cpds. of formula (I), and their salts and tautomers, is claimed for the prepn. of pharmaceuticals for treating metabolic disorders. A, D = H, 1-3C alkyl or 1-3C alkoxy; R₁, R₂ = 6-10C aryl, benzyl or Het (all opt. ring-substd. by upto 5 of halo, OCF₃, CF₃, OCHF₂, phenyl, 1-7C alkoxy, 1-7C alkylthio, 1-7C alkoxy-carbonyl, OH, COOH, 1-8C alkyl (opt. substd. by carboxy or 1-5C alkoxy-carbonyl), opt. halo-substd. benzoyl, CONR₄R₅, NR₆R₇, SO₂R₈ or SO₂NR₉R₁₀) or 1-15C alkyl; R₃ = H or SO₂R₁₁; R₄-R₇ = H, 1-4C acyl, phenyl, or 1-5C alkyl (opt. substd. by phenyl (opt. substd. by CF₃ or halo)); R₈, R₁₁ = 1-6C alkyl, benzyl or 6-10C aryl (opt. substd. by up to 5 of OH, phenyl, halo or 1-3C alkyl); R₉, R₁₀ = 1-6C alkyl or 1-6C alkoxy; and Het = 5 or 6 membered heterocycle contg. N, O and/or S, opt. benzo-fused. Over 70 cpds. (I) are new. They have formula (I) with the following substituents. (1) A, D = Me; R₃ = H; R₁ = a gp. of formula (g); and R₂ = (2-bromophenyl)methyl; (2) A, D = Me; R₃ = H; R₁ = a gp. of formula (h); and R₂ = 2-bromophenyl or 2-chlorophenyl; (3) A, D = Me; R₃ = H; R₁ = a gp. of formula (a); R₂ = pentafluoro phenyl, 2-substd. phenyl (where the substituents are Br or OCF₃), 3-chlorophenyl, naphth-2-yl, 4-substd. phenyl (where the substituents are Me, Br, t-Bu, Cl, phenyl or I), n-propyl, (CH₂)₁₁CH₃, 2,4,5-trichlorophenyl, 4-chlorobenzyl, 7-quinolinyl, 2,3-dimethylphenyl, 4-chloro-2-trifluoromethyl-phenyl, 3,4-dimethylphenyl, or a gp. of formula (a₁)-(a₄); (4) A, D = OMe, R₃ = H, R₁ = a gp. of formula (b); and R₂ = 2-substd. phenyl (where the substituents are OMe, Cl, F, Br, CF₃ or SO₂NMe₂); (5) A, D = OMe, R₁ = (a); R₃ = H; R₂ = 2,6-dichlorophenyl; (6) A, D = OMe; and R₁ = (c₁), R₂ = 4-trifluoromethoxy phenyl and R₃ = H; or R₁ = (c₂), R₂ = trifluoromethoxy phenyl and R₃ = (c₃); (7) A = D = Me or OMe, R₁ = a gp. of formula (d); R₃ = H; R₂ = (a); (8) A, D = Me, R₁ = (b), R₃ = H; R₂ = 4-methyl phenyl, 2-substd. phenyl (where the substituents are OCF₃, CF₃, F or SO₂NMe₂ or 2-(methoxycarbonyl)benzyl; (9) A, D = Me, R₁ = 2-fluorophenyl, R₃ = H and R₂ = (a₅) or 2-carboxyphenyl; (10) A = OMe; D = Me, R₁ = a gp. of formula (f); R₂ = 4-methylphenyl and R₃ = 4-methylphenylsulphonyl; (11) A, D = Me; R₁ = (f), R₃ = H; and R₂ = 2-substd. phenyl (where the substituents are OCHF₂, CF₃ or OCH₃); (12) A, D = OMe; R₁ = gp. of formula (k), R₃ = H; R₂ = 2-chloro, 2-trifluoromethoxyphenyl or 2- or 3-difluoromethoxy phenyl; (13) A, D = Me; R₁ = (a); and R₃ = SO₂R₂, where R₂ = n-Pr, 4-biphenyl, 4-chlorobenzyl, 4-iodophenyl, 3- or 4-chlorophenyl, 2,3-dimethylphenyl, (a₂), 2- or 4-bromophenyl, pentafluorophenyl, 1- or 2-naphthyl or 4-t-butyl-phenyl; (14) A, D, R₃ = H; R₁ = (a), R₂ = 2-bromophenyl; (15) A = Me; D = OMe; R₁ = a gp. (l); R₂ = 2-chlorophenyl and R₃ = H; (16) A = Me; D = R₃ = H; R₁ = (a); and R₂ = 4-methylphenyl; (17) A = D + Me; R₁ = a gp. (m); R₂ = 4-methylphenyl and R₃ = H.

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